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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 4 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 5 MAY 10 CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS 6 MAY 11 KOREAPAT updates resume
NEWS 7 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAPLUS and
USPATFULL/USPAT2
NEWS 9 MAY 30 The F-Term thesaurus is now available in CA/CAPLUS
NEWS 10 JUN 02 The first reclassification of IPC codes now complete in
INPADOC
NEWS 11 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
and display fields
NEWS 12 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
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* Due to scheduled maintenance of STN on Sunday, July 9, 2006, *
* some databases may not be available until 04:00 (4:00 AM) *
* Eastern Daylight Time. *

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:49:37 ON 05 JUL 2006

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:49:42 ON 05 JUL 2006
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STRUCTURE FILE UPDATES: 4 JUL 2006 HIGHEST RN 890521-76-3
DICTIONARY FILE UPDATES: 4 JUL 2006 HIGHEST RN 890521-76-3

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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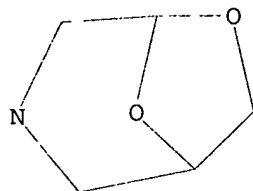
Uploading C:\Program Files\Stnexp\Queries\10518689 very broad.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:49:57 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 139 TO ITERATE

100.0% PROCESSED 139 ITERATIONS

48 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2073 TO 3487

PROJECTED ANSWERS: 545 TO 1375

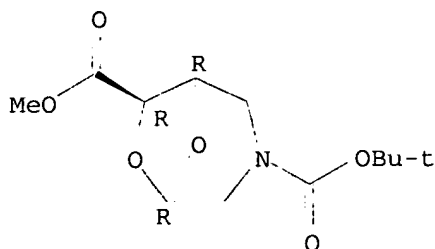
L2 48 SEA SSS SAM L1

=> d l2 1-10 cn rn str

L2 ANSWER 1 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN

CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-3,7-dicarboxylic acid,
3-(1,1-dimethylethyl) 7-methyl ester, (1R,5R,7R)- (9CI) (CA INDEX NAME)
RN 875533-87-2 REGISTRY

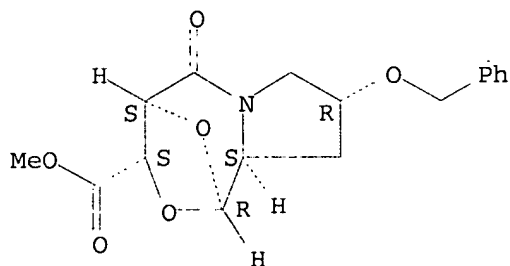
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

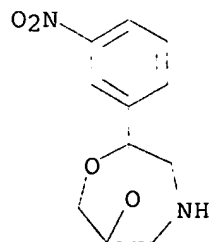
L2 ANSWER 2 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 1,4-Epoxy-1H,3H-pyrrolo[2,1-c][1,4]oxazepine-3-carboxylic acid,
hexahydro-5-oxo-8-(phenylmethoxy)-, methyl ester, (1R,3S,4S,8R,9aS)- (9CI)
(CA INDEX NAME)
RN 869649-27-4 REGISTRY

Absolute stereochemistry.



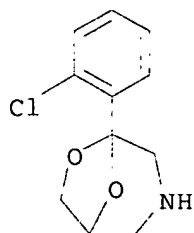
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 3 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane, 5-(3-nitrophenyl)- (9CI) (CA INDEX
NAME)
RN 785730-93-0 REGISTRY



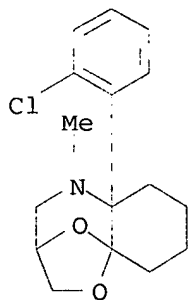
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 4 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane, 5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)
RN 784093-87-4 REGISTRY



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

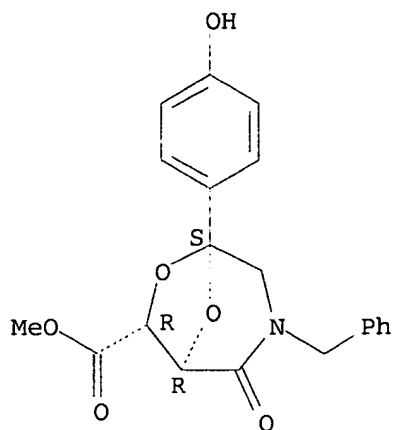
L2 ANSWER 5 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 2H-3,9a-Epoxy-1,5-benzoxazepine, 5a-(2-chlorophenyl)octahydro-5-methyl-, (3 α ,5 α ,9 α)- (9CI) (CA INDEX NAME)
RN 748734-08-9 REGISTRY



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 6 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid, 5-(4-hydroxyphenyl)-2-oxo-3-(phenylmethyl)-, methyl ester, (1R,5S,7R)- (9CI) (CA INDEX NAME)
RN 677353-48-9 REGISTRY

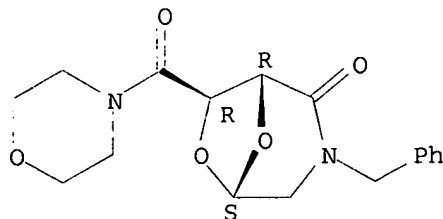
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

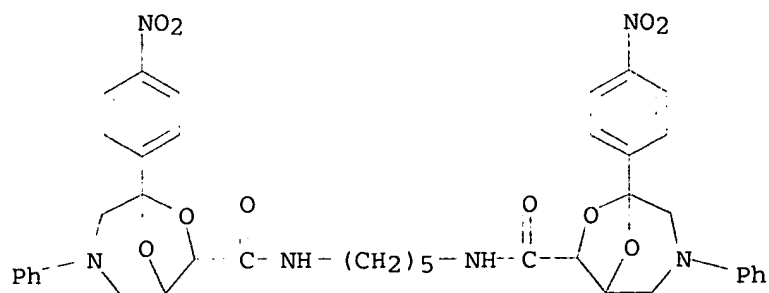
L2 ANSWER 7 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
 CN Morpholine, 4-[[[(1R,5S,7R)-2-oxo-3-(phenylmethyl)-6,8-dioxo-3-azabicyclo[3.2.1]oct-7-yl]carbonyl]- (9CI) (CA INDEX NAME)
 RN 664375-56-8 REGISTRY

Absolute stereochemistry.



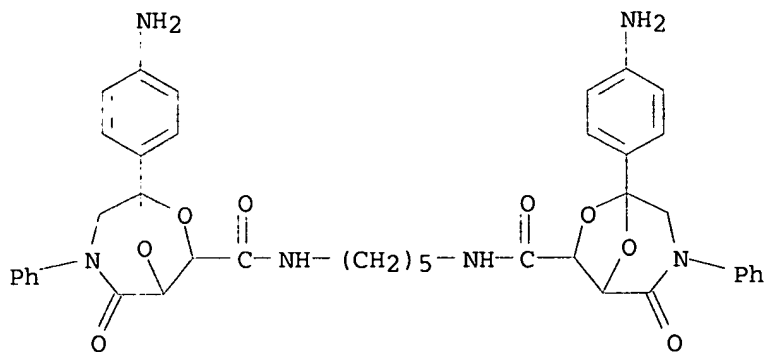
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 8 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
 CN 6,8-Dioxo-3-azabicyclo[3.2.1]octane-7-carboxamide, N,N'-1,5-pentanediylobis[5-(4-nitrophenyl)-3-phenyl- (9CI) (CA INDEX NAME)
 RN 639474-79-6 REGISTRY



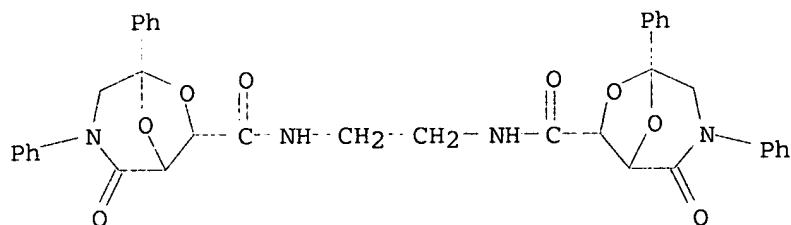
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 9 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
 CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxamide, N,N'-1,5-
 pentanediylbis[5-(4-aminophenyl)-2-oxo-3-phenyl- (9CI) (CA INDEX NAME)
 RN 639474-73-0 REGISTRY



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 10 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
 CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxamide, N,N'-1,2-
 ethanediylbis[2-oxo-3,5-diphenyl- (9CI) (CA INDEX NAME)
 RN 639474-60-5 REGISTRY



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=>

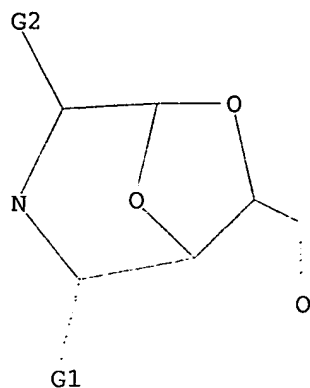
Uploading C:\Program Files\Stnexp\Queries\10518689 broad.str

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR



G1 H,O,S

G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 13

SAMPLE SEARCH INITIATED 11:00:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 55 TO ITERATE

100.0% PROCESSED 55 ITERATIONS 35 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 656 TO 1544
PROJECTED ANSWERS: 346 TO 1054

L4 35 SEA SSS SAM L3

=> s 13 full

FULL SEARCH INITIATED 11:00:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1131 TO ITERATE

100.0% PROCESSED 1131 ITERATIONS 776 ANSWERS
SEARCH TIME: 00.00.01

L5 776 SEA SSS FUL L3

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	193.86	194.07

FILE 'CAPLUS' ENTERED AT 11:01:22 ON 05 JUL 2006

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=> s 15

L6 27 L5

=> d 16 ibib abs 1-27

L6 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:117206 CAPLUS

DOCUMENT NUMBER: 144:205807

TITLE: Pharmaceutical compositions for treating disorders of the skin

INVENTOR(S): Bruinsma, Gosse B.

PATENT ASSIGNEE(S): Axonyx, Inc., USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015183	A2	20060209	WO 2005-US26909	20050729
WO 2006015183	A3	20060504		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2004-592411P P 20040730

OTHER SOURCE(S): MARPAT 144:205807

AB The invention relates to a method of treating a decubitus ulcer in a subject and a method of identifying a compound useful in the treatment of decubitus. In addition, active dressings, ointments and/or lotions having a means for improving healing of the decubitus ulcer are provided.

L6 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1174211 CAPLUS

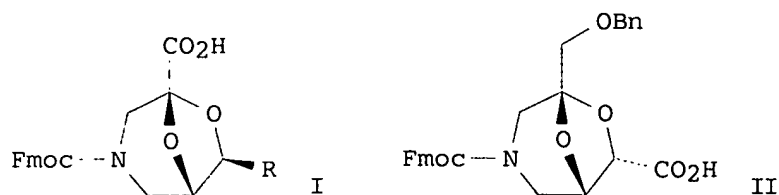
DOCUMENT NUMBER: 144:70099

TITLE: Synthesis of glycidol- and sugar-derived bicyclic β - and γ/δ -amino acids for peptidomimetic design

AUTHOR(S): Danieli, Elisa; Trabocchi, Andrea; Menchi, Gloria; Guarna, Antonio

CORPORATE SOURCE: Dipartimento di Chimica Organica "Ugo Schiff",
Universita degli Studi di Firenze, Polo Scientifico di
Sesto Fiorentino, Sesto Fiorentino, 50019, Italy

SOURCE: European Journal of Organic Chemistry (2005), (20), 4372-4381
 CODEN: EJOCFK; ISSN: 1434-193X
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:70099
 GI



AB Constrained bicyclic β - and γ/δ -amino acids I (R = H or CH₂OBn; Fmoc = fluorenylmethoxycarbonyl, Bn = benzyl) and II were developed using glycidol and sugar derivs. The synthetic strategies involved epoxide ring opening of a glycidol derivative, and subsequent coupling with sugar-derived amines, leading to di- or trisubstituted bicyclic scaffolds after cyclization with trifluoroacetic acid. Achievement of β - or γ/δ -amino acids was accomplished by changing the protecting group strategy of the starting materials. Compatibility of the scaffold with solid-phase peptide synthesis was assessed by preparing model peptidomimetics using acid- and base-labile resins, thus giving a new tool for peptidomimetic design.

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1084709 CAPLUS

DOCUMENT NUMBER: 144:7072

TITLE: Synthesis of a constrained tricyclic scaffold based on trans-4-hydroxy-L-proline

AUTHOR(S): Trabocchi, Andrea; Rolla, Massimo; Menchi, Gloria; Guarna, Antonio

CORPORATE SOURCE: Dipartimento di Chimica Organica Ugo Schiff, Polo Scientifico di Sesto Fiorentino, Universita degli Studi di Firenze, Sesto Fiorentino (FI), I-50019, Italy

SOURCE: Tetrahedron Letters (2005), 46(45), 7813-7816

CODEN: TELEAY; ISSN: 0040-4039

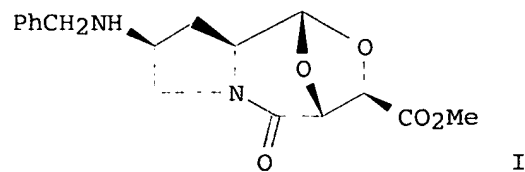
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:7072

GI



AB Drug discovery research has taken advantage of peptidomimetic chemical in

order to achieve new leads possessing structural and functional characteristics of bioactive peptides together with enhanced metabolic resistance towards proteases. Herein is reported the synthesis of a tricyclic peptidomimetic scaffold I derived from the combination of trans-4-hydroxy-L-proline and tartaric acid derivs. by means of amidation and acid trans-acetalization reactions. Further manipulations of the hydroxylic function on the pyrrolidine ring gave access to a new set of amino acid scaffolds possessing high rigidity and a fixed arrangement of the functional groups.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:260058 CAPLUS

DOCUMENT NUMBER: 142:336382

TITLE: Preparation of benzimidazolylideneacetonitriles for treating metabolic disorders mediated by insulin resistance or hyperglycemia

INVENTOR(S): Schwarz, Mattias; Gaillard, Pascale; Page, Patrick; Gotteland, Jean-Pierre; Thomas, Russell J.

PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

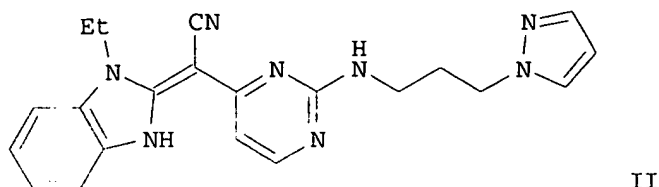
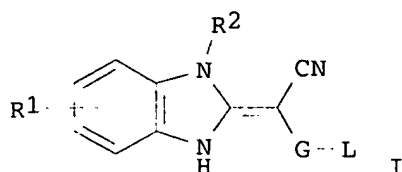
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026155	A1	20050324	WO 2004-EP52137	20040910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272306	A1	20050324	AU 2004-272306	20040910
CA 2534317	AA	20050324	CA 2004-2534317	20040910
EP 1667995	A1	20060614	EP 2004-766767	20040910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
NO 2006001614	A	20060410	NO 2006-1614	20060410
PRIORITY APPLN. INFO.:			EP 2003-102741	A 20030912
			WO 2004-EP52137	W 20040910
OTHER SOURCE(S):		MARPAT 142:336382		
GI				



AB The title compds. I [G = pyrimidinyl; L = amino, 3-8 membered heterocycloalkyl, containing at least one heteroatom selected from N, O, S, or L = acylamino; R1 = H, sulfonyl, amino, carboxy, aminocarbonyl, alkyl, alkenyl, alkynyl, alkoxy, aryl, halo, cyano or hydroxy; R2 = H, alkyl, alkenyl, alkynyl, alkoxy], useful in the treatment of metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type II, inadequate glucose tolerance, insulin resistance, obesity, polycystic ovary syndrome (PCOS), were prepared and formulated. E.g., a multi-step synthesis of II, was given. The compds. I were tested in GSK3 β (h) in vitro assay (data given for representative compds. I).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:304184 CAPLUS

DOCUMENT NUMBER: 141:54307

TITLE: Enantioselective addition of diethylzinc to aldehydes using 1,4-amino alcohols as chiral ligands

AUTHOR(S): Scarpi, Dina; Lo Galbo, Fabrizio; Occhiato, Ernesto G.; Guarna, Antonio

CORPORATE SOURCE: Dipartimento di Chimica Organica 'U. Schiff', Universita di Firenze, Sesto Fiorentino, 50019, Italy

SOURCE: Tetrahedron: Asymmetry (2004), 15(8), 1319-1324

CODEN: TASYE3; ISSN: 0957-4166

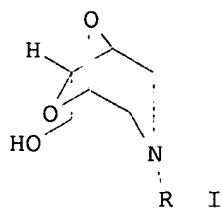
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:54307

GI



AB Conformationally constrained, optically active 1,4-amino alcs. I (R = Et, i-Pr, c-Hexyl, Bn) have been used as chiral ligands in the addition of diethylzinc to aromatic aldehydes. The enantioselectivity was strongly influenced by the N-alkyl group: the best results were achieved with

N-ethyl- and N-benzyl-amino alcs. One example of addition to an aliphatic aldehyde is also reported.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:2706 CAPLUS
DOCUMENT NUMBER: 140:53449
TITLE: Pharmaceutical compositions for the treatment of diseases related to neurotrophins
INVENTOR(S): Guarna, Antonio; Cozzolino, Federico; Torcia, Maria; Garaci, Enrico
PATENT ASSIGNEE(S): Italy
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000324	A1	20031231	WO 2003-EP6471	20030618
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2489965	AA	20031231	CA 2003-2489965	20030618
AU 2003246559	A1	20040106	AU 2003-246559	20030618
EP 1551412	A1	20050713	EP 2003-760652	20030618
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1662242	A	20050831	CN 2003-814155	20030618
JP 2005530834	T2	20051013	JP 2004-514784	20030618
US 2006069092	A1	20060330	US 2004-518689	20041217
PRIORITY APPLN. INFO.:			IT 2002-FI107	A 20020619
			WO 2003-EP6471	W 20030618

OTHER SOURCE(S): MARPAT 140:53449

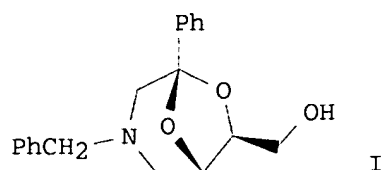
AB The invention refers to pharmaceutical prepn. including as active compds. 3-aza-bicyclo[3.2.1]octane derivs. and/or their dimers acting as agonists of human neurotrophins. Therefore, such compds. are useful for treatment of diseases in which the neurotrophin functions are involved in defect, particularly of Nerve Growth Factor (NGF), such as neurodegenerative diseases of central nervous system (CNS), acquired immunodeficiency due to a reduced NGF bioavailability, or morboous conditions in which the stimulus of neoangiogenesis process is convenient.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:957358 CAPLUS
DOCUMENT NUMBER: 140:321342
TITLE: A solid-phase approach towards the development of 3-aza-6,8-dioxabicyclo[3.2.1]octane scaffolds
AUTHOR(S): Trabocchi, Andrea; Mancini, Francesco; Menchi, Gloria; Guarna, Antonio
CORPORATE SOURCE: Polo Scientifico di Sesto Fiorentino, Dipartimento di Chimica Organica 'Ugo Schiff', Universitadegli Studi

SOURCE: di Firenze, Florence, Sesto Fiorentino, Italy
Molecular Diversity (2003), 6(3-4), 245-250
CODEN: MODIF4; ISSN: 1381-1991
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:321342
GI

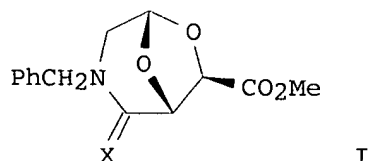


AB The development of new strategies for solid-phase synthesis of 3-aza-6,8-dioxabicyclo[3.2.1]octane scaffolds, named BTKa, e.g. I, is described. The preparation was made possible by the combination of three components: amines, α -halo-acetophenones, and sugar or tartaric acid derivs. By anchoring each of the three components it was possible to synthesize BTKa compds. either as amino alcs. or amido esters. The compatibility of the protocols with different classes of amines and substituted α -halo-acetophenones was demonstrated.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:689696 CAPLUS
DOCUMENT NUMBER: 140:217610
TITLE: Neat reaction of carboxylic acid methyl esters and amines for efficient parallel synthesis of scaffold amide libraries
AUTHOR(S): Machetti, Fabrizio; Bucelli, Ilaria; Indiani, Giovanni; Guarna, Antonio
CORPORATE SOURCE: Dipartimento di Chimica Organica 'Ugo Schiff', Universita Degli Studi di Firenze and ICCOM-CNR, Sesto Fiorentino-Firenze, 50019, Italy
SOURCE: Comptes Rendus Chimie (2003), 6(5-6), 631-633
CODEN: CRCOCR; ISSN: 1631-0748
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:217610
GI



AB Efficient synthesis of unsubstituted and substituted amides is described. The reaction is characterized by its mildness and ease of work-up. A library of amides, was prepared by heating esters I [X = O, S] with various amines.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:496586 CAPLUS

DOCUMENT NUMBER: 139:261263

TITLE: Enantiospecific synthesis of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylic acids from erythrose

AUTHOR(S): Trabocchi, Andrea; Menchi, Gloria; Rolla, Massimo; Machetti, Fabrizio; Bucelli, Ilaria; Guarna, Antonio

CORPORATE SOURCE: Istituto di Chimica dei Composti Organometallici-C.N.R., Dipartimento di Chimica Organica "Ugo Schiff", Universita di Firenze, Sesto Fiorentino, Florence, I-50019, Italy

SOURCE: Tetrahedron (2003), 59(28), 5251-5258

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:261263

AB New methodol. for the synthesis of enantiopure 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylic acids belonging to 7-endo-BTAa sub-class of γ/δ amino acids is described. The novelty is the use of 2,3-O-isopropylidene-erythrose instead of meso-tartaric acid derivative, thus allowing us to perform an enantiospecific synthesis. Reductive amination of erythro lactol with aminoacetaldehyde di-Et acetal or benzylamine and subsequent acid cyclization gave directly the amino alc. scaffold. Protection of nitrogen as urethane and final alc. oxidation afforded the Fmoc-, Boc-, and Cbz-amino acids. The new synthetic route was applied on a multigram scale, thus resulting in a marked improvement of the synthesis of enantiopure 7-endo-BTG and 7-endo-BTK amino acids.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:199584 CAPLUS

DOCUMENT NUMBER: 138:362606

TITLE: Molecular Shape Diversity of Combinatorial Libraries: A Prerequisite for Broad Bioactivity

AUTHOR(S): Sauer, Wolfgang H. B.; Schwarz, Matthias K.

CORPORATE SOURCE: Department of Chemistry, Serono Pharmaceutical Research Institute, Plan-les-Ouates, Geneva, 1228, Switz.

SOURCE: Journal of Chemical Information and Computer Sciences (2003), 43(3), 987-1003

CODEN: JCISD8; ISSN: 0095-2338

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A computational method to rapidly assess and visualize the diversity in mol. shape associated with a given compound set has been developed. Normalized ratios of principal moments of inertia are plotted into two-dimensional triangular graphs and then used to compare the shape space covered by different compound sets, such as combinatorial libraries of varying size and composition. We have further developed a computational method to analyze inter-set similarity in terms of shape space coverage, which allows the shape redundancy between the different subsets of a given compound collection to be analyzed in a quant. way. The shape space coverage has been found to originate mainly from the nature and the 3D-geometry (but not the size) of the central scaffold, while the number and nature of the peripheral substituents and conformational aspects were shown to be of minor importance. Substantial shape space coverage has been correlated with broad biol. activity by applying the same shape anal. to collections of known bioactive compds., such as MDDR and the GOLD-set. The aggregate

of our results corroborates the intuitive notion that mol. shape is intimately linked to biol. activity and that a high degree of shape (hence scaffold) diversity in screening collections will increase the odds of addressing a broad range of biol. targets.

REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:880603 CAPLUS

DOCUMENT NUMBER: 138:338122

TITLE: Synthesis of a new enantiopure bicyclic γ/δ -amino acid (BTKa) derived from tartaric acid and α -amino acetophenone

AUTHOR(S): Guarna, Antonio; Bucelli, Ilaria; Machetti, Fabrizio; Menchi, Gloria; Occhiato, Ernesto G.; Scarpi, Dina; Trabocchi, Andrea

CORPORATE SOURCE: Dipartimento di Chimica Organica "U. Schiff", Universita di Firenze and Istituto di Chimica dei Composti Organometallici-CNR, Florence, 50019, Italy

SOURCE: Tetrahedron (2002), 58(49), 9865-9870

CODEN: TETRAB; ISSN: 0040-4020

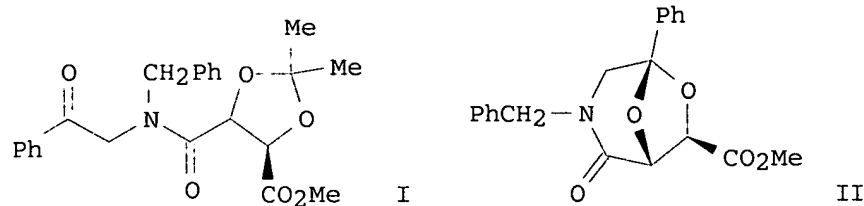
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:338122

GI



AB The synthesis of a novel enantiopure γ/δ -amino acid having the 3-aza-6,8-dioxabicyclo[3.2.1]octane structure was realized by the combination of tartaric acid derivs. and α -amino acetophenone followed by a trans-acetalization process. The tartaric acid derivs. used in this study included (4R,5R)-2,2-dimethyl-1,3-dioxolane-4,5-dicarboxylic acid monomethyl ester and (3R,4R)-3,4-bis(acetyloxy) dihydro-2,5-furandione (O,O'-diacetyl-L-tartaric anhydride). For example, condensation of 2-(benzylamino)acetophenone with (4R,5R)-2,2-dimethyl-1,3-dioxolane-4,5-dicarboxylic acid monomethyl ester [i.e., an (R,R)-tartaric acid derivative] gave I in 75% yield. Transacetalization of I in the presence of gave H₂SO₄/SiO₂ gave (-)-(1R,5S,7R)-2-oxo-5-phenyl-3-(phenylmethyl)-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acid Me ester (II) in 85% yield. The bicyclic amino acid, which has a rigid skeleton and carries substituents at the 3, 5 and 7 positions of the scaffold, could find different applications in organic and peptidomimetic synthesis. Two synthetic strategies were studied, one of them allowing the multigram scale preparation of the amino acid.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

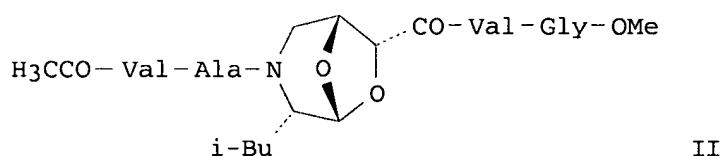
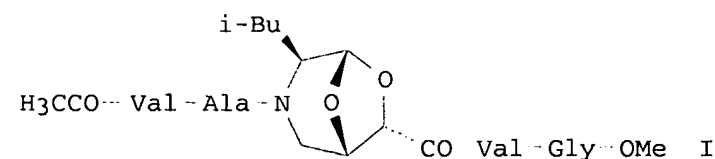
L6 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:706544 CAPLUS

DOCUMENT NUMBER: 137:370350

TITLE: Synthesis and Conformational Analysis of Small Peptides Containing 6-Endo-BT(t)L Scaffolds as Reverse

Turn Mimetics
 AUTHOR(S): Trabocchi, Andrea; Occhiato, Ernesto G.; Potenza, Donatella; Guarna, Antonio
 CORPORATE SOURCE: Dipartimento di Chimica Organica "Ugo Schiff",
 Università di Firenze, Polo Scientifico di Sesto
 Fiorentino, Sesto Fiorentino, Firenze, I-50019, Italy
 SOURCE: Journal of Organic Chemistry (2002), 67(21), 7483-7492
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:370350
 GI



AB Two new dipeptide isosteres derived from L-leucine and meso-tartaric acid derivs., named 6-endo-BTL and 6-endo-BtL, were inserted in a small peptide by means of solid-phase peptide synthesis, and the conformational features of the resulting peptides I and II were studied by NMR, IR, and mol. modeling techniques. The presence of a reverse turn conformation was observed in all the structures, suggesting the key role of the scaffolds as reverse turn promoters. I and II did not adopt a preferred conformation as indicated by the presence of equilibrium between open turn and intramol. hydrogen-bonded structures. I showed a 3:1 mixture of conformers. The major conformer adopted mainly an open turn structure in equilibrium with hydrogen-bonded structures. The minor conformer displayed a better organized structure with a 14-membered ring hydrogen-bond typical of a β -hairpin-like structure, in equilibrium with a γ -turn, too. II showed a unique conformer, and did not adopt as good a conformation as I, due to the bulky equatorial substituent at C-2. Thus, marked structural differences between peptides containing 6-endo-BTL and 6-endo-BtL scaffolds as reverse turn inducers exist.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:201199 CAPLUS

DOCUMENT NUMBER: 136:386364

TITLE: Bicyclic compounds derived from tartaric acid and α -amino acids (BTAAs): synthesis of new molecular scaffolds derived from the combination of (R,R)-tartaric acid and L-serine

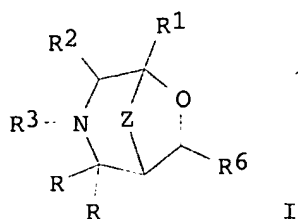
AUTHOR(S): Cini, Nicoletta; Machetti, Fabrizio; Menchi, Gloria; Occhiato, Ernesto G.; Guarna, Antonio

CORPORATE SOURCE: Dipartimento di Chimica Organica "U. Schiff" and Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni, C.N.R., Polo Scientifico di Sesto Fiorentino, Università di

SOURCE: Firenze, Sesto Fiorentino, 50019, Italy
European Journal of Organic Chemistry (2002), (5),
873-880
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:386364
AB The synthesis of the new N-Fmoc-protected dipeptide isostere Me
(1S,2S,5S,6R)-2exo-hydroxymethyl-7,8-dioxo-3-azabicyclo[3.2.1]octane-6exo-
carboxylate (BTS) has been achieved, starting from (R,R)-tartaric acid and
O-benzyl-L-serine, in 11% overall yield after 9 steps. Interestingly,
starting from the same α -amino acid, it was also possible to prepare
the 2endo-substituted compound, formally derived from the combination of
tartaric acid with D-serine. Each compound has a CH₂OH functional group at
C-2, which is very useful for greater diversification of the
7,8-dioxo-3-azabicyclo[3.2.1]octane-6-carboxylate (BTAA) dipeptide
isosteres. The oxidation of the C-2 carbinol group in BTS, moreover, gave
rise to a novel, conformationally constrained, α -amino acid that may
find application in peptidomimetic synthesis.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:654699 CAPLUS
DOCUMENT NUMBER: 135:211044
TITLE: Preparation of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarb
oxylates and analogs
INVENTOR(S): Guarna, Antonio; Menchi, Gloria; Occhiato, Ernesto
Giovanni; Machetti, Fabrizio; Scarpi, Dina
PATENT ASSIGNEE(S): Universita Degli Studi di Firenze, Italy
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1130022	A1	20010905	EP 2000-104135	20000229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2401693	AA	20010907	CA 2001-2401693	20010227
WO 2001064686	A1	20010907	WO 2001-EP2185	20010227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003176414	A1	20030918	US 2002-220556	20021101
PRIORITY APPLN. INFO.:			EP 2000-104135	A 20000229
			WO 2001-EP2185	W 20010227
OTHER SOURCE(S):		CASREACT 135:211044; MARPAT 135:211044		
GI				



AB Title compds. [e.g., I; RR = O or each R = H; R1 = (un)substituted Ph; R2 = H, Me, CH₂Ph; R3 = (un)substituted phenyl(methyl), CH(CO₂H)CH₂Ph, allyl, etc.; R6 = H, Me, CO₂H, CH₂OH; Z = O or NH] were prepared. Thus, PhCOCH₂NHCH₂Ph was N-acylated by 1,4-dioxane-2,3-dicarboxylic acid monomethyl ester and the product cyclized to give I (RR = O, R1 = R3 = CH₂Ph, R2 = H, R6 = CO₂Me, Z = O). The method is suitable for solid phase synthesis and the preparation of combinatorial libraries.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:426038 CAPLUS

DOCUMENT NUMBER: 135:227220

TITLE: Introduction of the new dipeptide isostere 7-endo-BtA as reverse turn inducer in a Bowman-Birk proteinase inhibitor synthesis and conformational analysis

AUTHOR(S): Scarpi, D.; Occhiato, E. G.; Trabocchi, A.; Leatherbarrow, R. J.; Brauer, A. B. E.; Nievo, M.; Guarna, A.

CORPORATE SOURCE: Department of Chemistry, Imperial College of Science Technology and Medicine, South Kensington, London, SW7 2AY, UK

SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(6), 1625-1632

CODEN: BMECEP; ISSN: 0968-0896

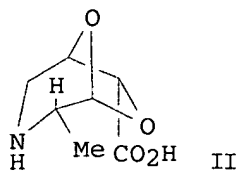
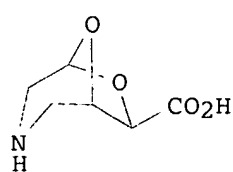
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:227220

GI



AB Two dipeptide isosteres 7-exo-BTG, I, and 7-endo-BtA, II, were inserted into an 11-residue peptide, H-Ser-cyclo(Cys-Thr-Phe-Ser-Ile-Pro-Pro-Gln-Cys)-Tyr-OH, derived from the Bowman Birk Inhibitor (BBI) class of serine protease inhibitors, and the conformational properties of these modified peptides were studied by NMR and mol. modeling. II, obtained from L-alanine and meso tartaric acid, gave rise to the modified BBI peptide, H-Ser-cyclo(Cys-Thr-Phe-Ser-(7-endo-BtA)-Pro-Gln-Cys)-Tyr-OH, whose structure was very similar to that of the original peptide, suggesting a possible reverse turn inducing property for this dipeptide isostere.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:836451 CAPLUS
DOCUMENT NUMBER: 134:178261
TITLE: Stereoselective Meisenheimer rearrangement using BTAA's as chiral auxiliaries
AUTHOR(S): Guarna, A.; Occhiato, E. G.; Pizzetti, M.; Scarpi, D.; Sisi, S.; van Sterkenburg, M.
CORPORATE SOURCE: Dipartimento di Chimica Organica 'U. Schiff' and Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni, CNR, Universita di Firenze, Florence, I-50121, Italy
SOURCE: Tetrahedron: Asymmetry (2000), 11(20), 4227-4238
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:178261

AB The Meisenheimer rearrangement involves the [2,3]-sigmatropic rearrangement of allylic tertiary amine-N-oxides to O-allyl hydroxylamines. Various BTAA's (bicycles derived from tartaric acid and α -amino acids) were employed as chiral auxiliaries in the Meisenheimer rearrangement of the N-oxides of N-allyl amines obtained by the coupling of BTAA's with cinnamyl bromide and (E)-2-methyl-2-pentenyl acetate. While the formation of the N-oxides was highly diastereoselective, the asym. induction in the rearrangement was generally low. However, the interaction between the 4-endo group on the BTAA and a 2'-substituent on the allylic moiety allowed a more efficient chirality transfer in the [2,3]-sigmatropic process, affording d.e. values as high as 65% in the best case. The cleavage of the N-O bond in the rearrangement products was possible by using Mo(CO)₆ with a good recovery of both alc. and chiral auxiliary.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:792847 CAPLUS
DOCUMENT NUMBER: 134:101172
TITLE: Oligomers of enantiopure bicyclic γ/δ -amino acids (BTAA). 1. Synthesis and conformational analysis of 3-aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acid oligomers (PolyBTG)
AUTHOR(S): Machetti, Fabrizio; Ferrali, Alessandro; Menchi, Gloria; Occhiato, Ernesto G.; Guarna, Antonio
CORPORATE SOURCE: Dipartimento di Chimica Organica U. Schiff and Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni C.N.R., Universita di Firenze, Florence, I-50121, Italy
SOURCE: Organic Letters (2000), 2(25), 3987-3990
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:101172

AB A series of dimeric through pentameric oligomers of a bicyclic γ/δ -amino acid (BTG) were synthesized using peptide coupling methods in solution with PyBroP (bromo-tris-pyrrolidino-phosphonium hexafluorophosphate) or HATU [O-(7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluroniumhexafluorophosphate]. The anal. of ¹H NMR and CD spectra suggests that these oligomers could have a partially ordered structure in alc. solns.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:442927 CAPLUS
DOCUMENT NUMBER: 134:193695
TITLE: Synthesis and reactivity of bicycles derived from tartaric acid and α -amino acids: a novel class of conformationally constrained dipeptide isosteres based upon enantiopure 3-aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acid. [Erratum to document cited in CA131:337331]
AUTHOR(S): Guarna, Antonio; Guidi, Antonio; Machetti, Fabrizio; Menchi, Gloria; Occhiato, Ernesto G.; Scarpi, Dina; Sisi, Sauro; Trabocch, Andrea
CORPORATE SOURCE: Department of Organic Chemistry U. Schiff and Center of Heterocyclic Compounds, University of Florence, Florence, I-50121, Italy
SOURCE: Journal of Organic Chemistry (2000), 65(15), 4782
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB On page 7358, ¹H NMR data for compound 60 should read: δ 9.32 (s, 1 H), 7.40-7.00 (m, 10 H), 5.34 (d, J = 5.8 Hz, 1 H), 4.95 (d, J = 5.8 Hz, 1 H), 4.90 (d, J = 15.4 Hz, 1 H), 3.86 (s, 3 H), 3.51 (dd, J = 8.3, 4.4 Hz, 1 H), 3.36 (dd, J = 13.9, 4.4 Hz, 1 H), 3.19 (d, J = 15.4 Hz, 1 H), 3.16 (dd, J = 13.9, 8.3 Hz, 1 H), 1.49 (s, 3 H), 1.43 (s, 3 H).".

L6 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:580083 CAPLUS
DOCUMENT NUMBER: 131:337331
TITLE: Synthesis and Reactivity of Bicycles Derived from Tartaric Acid and α -Amino Acids: A Novel Class of Conformationally Constrained Dipeptide Isosteres Based upon Enantiopure 3-Aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic Acid
AUTHOR(S): Guarna, Antonio; Guidi, Antonio; Machetti, Fabrizio; Menchi, Gloria; Occhiato, Ernesto G.; Scarpi, Dina; Sisi, Sauro; Trabocchi, Andrea
CORPORATE SOURCE: Department of Organic Chemistry U. Schiff and Center of Heterocyclic Compounds C.N.R., University of Florence, Florence, I-50121, Italy
SOURCE: Journal of Organic Chemistry (1999), 64(20), 7347-7364
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:337331

AB 3-Aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acids (named BTAA) derived from (R,R)-, (S,S)-, or meso-tartaric acid and natural (L), unnatural (D), or unusual α -amino acids are described as conformationally constrained dipeptide isosteres. The general strategy developed for their preparation has required the transformation of the amino acids into the corresponding N-benzyl-amino alcs., followed by the PyBroP-promoted condensation with the monomethyl ester of the suitable 2,3-di-O-isopropylidene-tartaric acid. Oxidation of the hydroxy group to aldehyde and subsequent acid-catalyzed trans-acetalization with the two hydroxy groups of the tartaric acid moiety provided 3-aza-2-oxo-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acid Me esters [named BTAA(O)] in good yield and, in most cases, as single enantiopure diastereoisomers. This strategy has been applied to the preparation of BTAA(O) starting from (R,R)-, (S,S)-, or meso-tartaric acid and glycine, L- and D-phenylalanine, L- and D-alanine, and (\pm)-phenylglycine. In the cases of glycine, L- and D-phenylalanine, and L- and D-alanine, the selective reduction by BH₃·DMS of the amide group succeeding to the cyclization step, or the reduction of both amide and ester functions followed by reoxidn. of the hydroxy to carboxylic group, provided in good yield the

3-aza-3-benzyl-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acids (or their Me ester) BTAA, having the side chain of the amino acid precursors at position 4. The stability and rigidity of the bicyclic skeleton, the complete control of all the stereo-centers, the possibility of introducing the side chains of L- or D-amino acids, and the demonstrated compatibility with the conditions required for solid-phase peptide synthesis make the BTAA compds. potential dipeptide isosteres useful for the synthesis of modified peptides.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:482695 CAPLUS

DOCUMENT NUMBER: 129:245379

TITLE: Carbaxylsides of 4-ethyl-2-oxo-2H-benzopyran-7-yl as nonhydrolyzable, orally active venous antithrombotic agents

AUTHOR(S): Jeanneret, Vincent; Vogel, Pierre; Renaut, Patrice; Millet, Jean; Theveniaux, Jocelyne; Barberousse, Veronique

CORPORATE SOURCE: Institut de Chimie Organique de l'Universite de Lausanne, BCH, Lausanne-Dorigny, CH-1015, Switz.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(13), 1687-1688

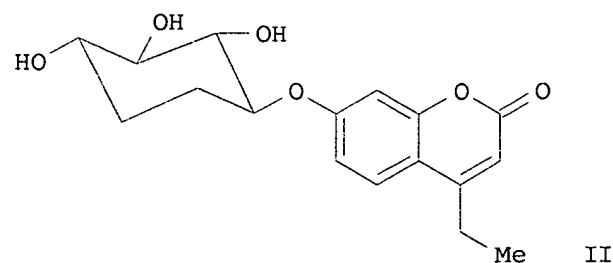
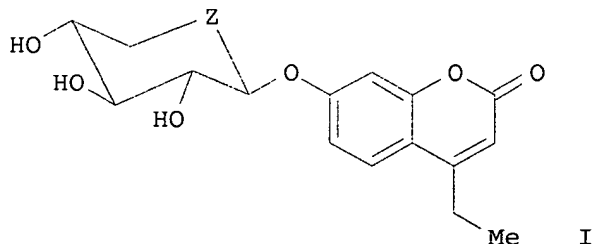
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

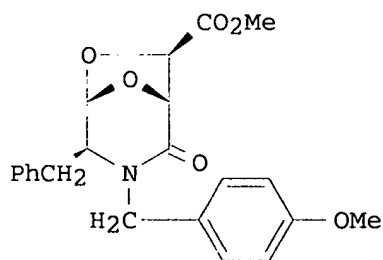
GI



AB A (-)-conduritol F derivative (I; R = H, R1 = SiMe2CMe3) was condensed with 4-ethyl-7-hydroxy-2H-1-benzopyran-2-one and converted into (+)-4-ethyl-7-[(1'R,2'S,3'S,4'R)-2',3',4'-trihydroxycyclohexyloxy]-2H-1-benzopyran-2-one [(+)-I; R = Q, R1 = H]. Enantiomer (-)-II was obtained from a (+)-conduritol F derivative. The carba-L-xyloside (-)-II with the L-xylose configuration was more active than carba-D-xyloside (+)-I (R = Q, R1 = H) in rat for antithrombotic activity in the modified Wessler's model.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1997:687564 CAPLUS
 DOCUMENT NUMBER: 128:13232
 TITLE: Condensation product between (R,R)-tartaric acid and a
 L-phenylalanine derivative as a new molecular scaffold
 AUTHOR(S): Guidi, Antonio; Guarna, Antonio; Giolitti, Alessandro;
 Macherelli, Michele; Menchi, Gloria
 CORPORATE SOURCE: Dipartimento Ricerca Chimica, Menarini Ricerche
 S.p.A., Florence, I-50131, Italy
 SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1997),
 330(7), 201-202
 CODEN: ARPMAS; ISSN: 0365-6233
 PUBLISHER: Wiley-VCH
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 128:13232
 GI



AB Condensation of N-(methoxybenzyl)phenylalaninol with isopropylidene-protected (R,R)-tartaric acid monomethyl ester gave after Swern oxidation and cyclization of the resulting aldehyde the azadioxabicyclo[3.2.1]octane I which was studied by conformational anal.

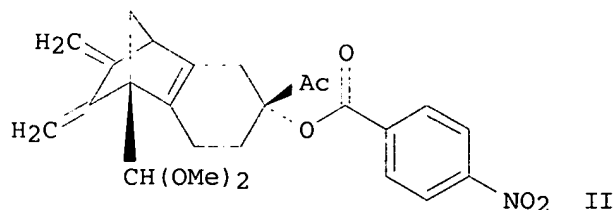
L6 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1996:560577 CAPLUS
 DOCUMENT NUMBER: 125:275475
 TITLE: Asymmetric Synthesis and DNA Intercalation of
 (-)-6-[[(Aminoalkyl)oxy]methyl]-4-demethoxy-6,7-
 dideoxydaunomycinones
 AUTHOR(S): Dienes, Zoltan; Vogel, Pierre
 CORPORATE SOURCE: Section de Chimie de l'Universite, BCH,
 Lausanne-Dorigny, 1015, Switz.
 SOURCE: Journal of Organic Chemistry (1996), 61(20), 6958-6970
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The BF₃·Et₂O-promoted Diels-Alder addition of 1-acetylviny
 RADO(Et)-ate [RADO(Et)-ate = 3-ethyl-2-oxo-6,8-dioxa-3-
 azabicyclo[3.2.1]octane-7-exo-carboxylate] to 1-(dimethoxymethyl)-2,3,5,6-
 tetramethylidene-7-oxabicyclo[2.2.1]heptane led to one major monoadduct
 that added to 1,2-didehydrobenzene and was converted into
 (-)-4-demethoxy-7-deoxydaunomycinone and (2R)-12-acetoxy-2-acetyl-5-
 (bromomethyl)-1,2,3,4-tetrahydronaphthacen-2-yl RADO(Et)-ate. The latter
 compound was used to construct (8R)-8-acetyl-6,8-dihydroxy-11-(ω-
 aminoalkoxy)methyl-7,8,9,10-tetrahydronaphthacene-5,12-dione hydrochloride
 (I, alkyl = Pr, Bu, pentyl) as well as (8R)-8-acetyl-6,8-dihydroxy-11-
 (3-aminopropylaminoalkoxymethyl)-7,8,9,10-tetrahydronaphthacene-5,12-dione

hydrochloride (II, alkyl = Et, Pr). (8R)-8-Acetyl-6,8-dihydroxy-11-[[$(\alpha$ -L-daunosaminyloxy)methyl]-7,8,9,10-tetrahydronaphthacene-5,12-dione hydrochloride (III), a mimic of idarubicin, was also prepared. Absorbance and fluorescence titration expts. showed I to intercalate calf thymus DNA whereas II and III did not. The best intercalator was I with the 4-aminobutoxymethyl chain ($K_b = (1.1 \pm 0.1) \times 10^5 \text{ M}^{-1}$). Inhibition of topoisomerase II-induced DNA strand religation was observed for I (alkyl = propyl) at a concentration of 50 μM .

L6 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:637715 CAPLUS
DOCUMENT NUMBER: 123:285463
TITLE: Remote substituent effect on the electrophilic additions of 1,3-dienes. Synthesis of (2R)-5-(acetoxymethyl)-2-acetyl-1,2,3,4-tetrahydro-10-methoxynaphthacene-2,12-diyl diacetate
AUTHOR(S): Mosimann, Herve; Dienes, Zoltan; Vogel, Pierre
CORPORATE SOURCE: Section chimie, Univ. Lausanne, Lausanne, 1015, Switz.
SOURCE: Tetrahedron (1995), 51(23), 6495-510
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Pergamon
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The addition of one equivalent of 2-nitrobenzenesulfonyl chloride to 1-(dimethoxymethyl)-2,3,4,6-tetramethylidene-7-oxabicyclo[2.2.1]heptane (I) is highly regioselective giving 2-(chloromethyl)-1-(dimethoxymethyl)-5,6-dimethylidene-3-[(2-nitrophenylthio)methyl]-7-oxabicyclo[2.2.1]hept-2-ene. The reaction of 2-nitrobenzenesulfonyl chloride with 8-(dimethoxymethyl)-9,10-dimethylidene-11-oxatricyclo[6.2.1.0^{2,7}]undec-2(7)-en-4-yl Me ketone derivs., e.g. II, was also regioselective giving mixts. of 1,2- rather than 1,4-adducts resulting from competitive Markovnikov and anti-Markovnikov modes of addition, the olefinic moiety the furthest from the 8-dimethoxymethyl substituent being preferred. These adducts underwent base-induced eliminations with the formation of exocyclic thio- and chlorosubstituted dienes that added to 2,3-didehydroanisole to give products resulting from highly "ortho" regioselective Diels-Alder addns. The regioselectivity was the same whether 2,3-didehydroanisole was generated by nitrosation of 3-methoxy- or 6-methoxy-2-aminobenzoic acid. By applying these regioselective reactions to the Diels-Alder monoadduct of I enantiopure (2R)-2-acetyl-1,2,3,4-tetrahydro-10-methoxynaphthacene-2,5-diyl diacetate and (2R)-5-(acetoxymethyl)-2-acetyl-1,2,3,4-tetrahydro-10-methoxynaphthacene-2,12-diyl diacetate were prepared.

L6 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:470997 CAPLUS
DOCUMENT NUMBER: 122:314339
TITLE: Synthesis of (-)-6-(3'-aminopropoxy)methyl-4-dimethoxy-6,7-dideoxy-daunomycinone, a new DNA intercalator related to anthracyclines

AUTHOR(S): Dienes, Zoltan; Vogel, Pierre
CORPORATE SOURCE: Sect. Chim. Univ. Lausanne, Lausanne, CH-1015, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(6), 547-50

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:314339

AB The hydrochloride of (-)-(8R)-8-acetyl-7,8,9,10-tetrahydro-6,8-dihydroxy-11-[(3'-aminopropoxy)methyl]naphthacene-5,12-dione was derived from 1-(dimethoxymethyl)-2,3,5,6-tetramethylidene-7-oxabicyclo[2.2.2.]heptane and shown to be a moderate intercalator of calf thymus DNA type XV.

L6 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:254509 CAPLUS

DOCUMENT NUMBER: 118:254509

TITLE: Enantioselective synthesis of (R)-(-)-2-acetyl-2,5,12-trihydro-1,2,3,4-tetrahydro-6,11-naphthacenequinone via diastereoselective Diels-Alder cycloaddition

AUTHOR(S): Dienes, Zoltan; Antonsson, Thomas; Vogel, Pierre
CORPORATE SOURCE: Sect. Chim., Univ. Lausanne, Lausanne, CH 1005, Switz.
SOURCE: Tetrahedron Letters (1993), 34(6), 1013-16

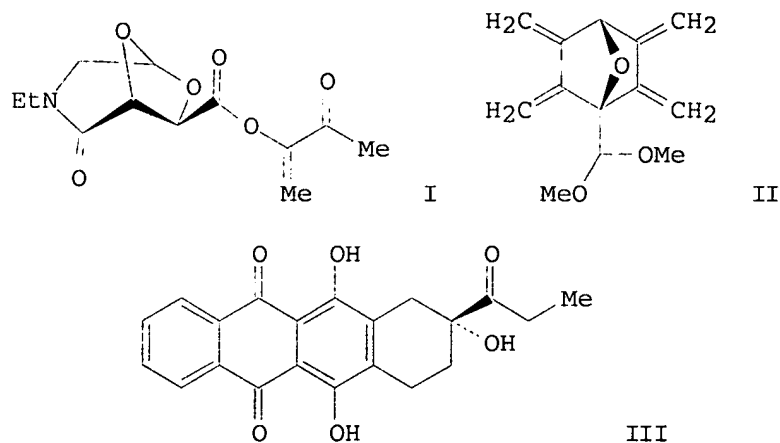
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 118:254509

GI



AB The BF₃·Et₂O-promoted Diels-Alder addition of 1-acetylviny (1'R,5'S,7'R)-3'-ethyl-2'-oxo-3'-aza-6',8'-dioxabicyclo[3.2.1]octane-7'-carboxylate (I) to 1-(dimethoxymethyl)-2,3,5,6-tetramethylidene-7-oxabicyclo[2.2.1]heptane (II) was highly regio-, stereo and diastereoselective giving monoadduct that was converted into (R)-(-)-4-demethoxy-7-deoxydaunomycinone (III).

L6 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:408441 CAPLUS

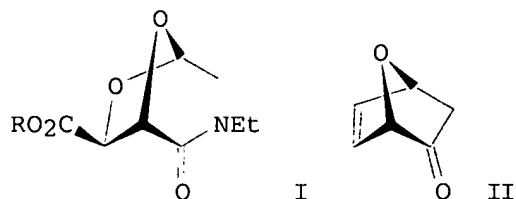
DOCUMENT NUMBER: 115:8441

TITLE: New chiral auxiliaries and new optically pure ketene equivalents derived from tartaric acids. Improved synthesis of (-)-7-oxabicyclo[2.2.1]hept-5-en-2-one

AUTHOR(S): Reymond, Jean Louis; Vogel, Pierre

CORPORATE SOURCE: Sec. Chim., Univ. Lausanne, Lausanne, CH-1005, Switz.

SOURCE: Tetrahedron: Asymmetry (1990), 1(10), 729-36
 CODEN: TASYE3; ISSN: 0957-4166
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 115:8441
 GI



AB Condensation of di-O-acetyl-(R,R)- and (S,S)-tartaric anhydride with acetals of N-alkylaminoacetaldehyde gave new chiral auxiliaries (1R,5S,7R)- and (1S,5R,7S)-3-alkyl-2-oxo-3-aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylates, e.g. I (R = Me). These were converted to 1-cyanovinyl esters I [R = C(CN):CH₂] that add to furan to give readily crystallizable, optically pure Diels-Alder adducts.

L6 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:82223 CAPLUS

DOCUMENT NUMBER: 114:82223

TITLE: Application of new optically pure ketene equivalents derived from tartaric acids to the total, asymmetric syntheses of (+)-6-deoxycastanospermine and (+)-6-deoxy-6-fluorocastanospermine

AUTHOR(S): Reymond, Jean Louis; Vogel, Pierre

CORPORATE SOURCE: Sect. Chim., Univ. Lausanne, Lausanne, CH-1005, Switz.

SOURCE: Journal of the Chemical Society, Chemical

Communications (1990), (16), 1070-2

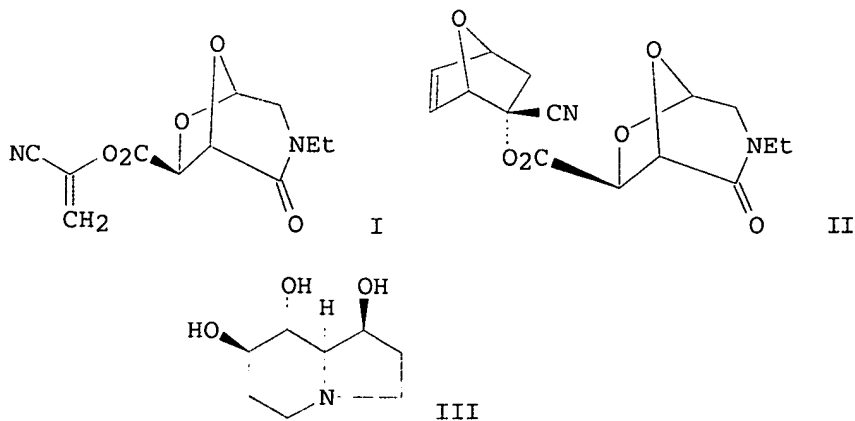
CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:82223

GI



AB Condensation of di-O-acetyl-(S,S)-tartaric anhydride with the di-Et acetal

of N-ethylaminoacetaldehyde gave (1S,5S,7S)-3-ethyl-2-oxo-6,8-dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid whose 1-cyanovinyl ester I added to furan to give, after two recrystns., an optically pure 7-oxabicyclo[2.2.1]hept-5-en-2-yl derivative II that was converted into (+)-6-deoxycastanospermine (III) and (+)-6-deoxy-6-fluorocastanospermine.

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(FILE 'HOME' ENTERED AT 10:49:37 ON 05 JUL 2006)

FILE 'REGISTRY' ENTERED AT 10:49:42 ON 05 JUL 2006

L1	STRUCTURE UPLOADED
L2	48 S L1
L3	STRUCTURE UPLOADED
L4	35 S L3
L5	776 S L3 FULL

FILE 'CAPLUS' ENTERED AT 11:01:22 ON 05 JUL 2006

L6	27 S L5
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